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NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
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NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced

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 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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DICTIONARY FILE UPDATES:  16 MAR 2009  HIGHEST RN 1122148-13-3

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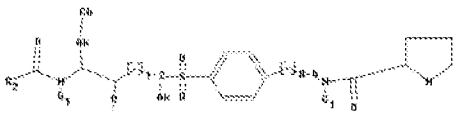

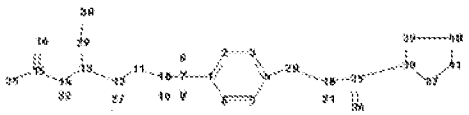

chain nodes :
7 8 9 10 11 12 13 14 15 16 18 19 20 21 27 28 29 30 32 34 35
36
ring nodes :
1 2 3 4 5 6 37 38 39 40 41
chain bonds :
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14-32 15-16 15-34 18-20 18-21 18-35 27-28 29-30 35-36 35-38
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 37-38 37-41 38-39 39-40 40-41
exact/norm bonds :
1-7 7-8 7-9 7-10 10-11 10-19 12-27 13-14 13-29 14-15 14-32 15-16 15-34
18-20 18-21 18-35 27-28 29-30 35-36 37-38 37-41
exact bonds :
4-20 11-12 12-13 35-38 38-39 39-40 40-41
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 37 :

G1:H,Ak

G2:O,Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
27:CLASS 28:CLASS 29:CLASS 30:Atom 32:CLASS 34:CLASS 35:CLASS 36:CLASS
37:Atom 38:Atom
39:Atom 40:Atom 41:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12
FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

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=> s L1 SSS full
REGISTRY INITIATED
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FULL SEARCH INITIATED 09:58:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L2 7 SEA SSS FUL L1

L3 2 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:996120 CAPLUS Full-text
DOCUMENT NUMBER: 141:411225

TITLE: Preparation of peptidyl HIV prodrugs which are cleavable by CD26

INVENTOR(S): De Kock, Herman Augustinus; Wigerinck, Piet Tom Bert Paul; Balzarini, Jan

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099135	A2	20041118	WO 2004-EP50753	20040510
WO 2004099135	A3	20050217		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1624897	A2	20060215	EP 2004-741542	20040510
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CN 1784244	A	20060607	CN 2004-80012260	20040510
JP 2007526872	T	20070920	JP 2006-505596	20040510
AT 375172	T	20071015	AT 2004-741542	20040510
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IN 2005DN03880	A	20071130	IN 2005-DN3880	20050831
US 20080214648	A1	20080904	US 2005-555712	20051103
MX 2005012019	A	20060203	MX 2005-12019	20051108
NO 2005005826	A	20060208	NO 2005-5826	20051208

PRIORITY APPLN. INFO.: GB 2003-10593 A 20030508
WO 2004-EP50753 W 20040510

OTHER SOURCE(S): MARPAT 141:411225

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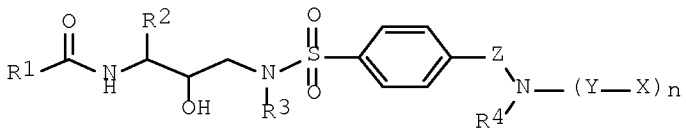

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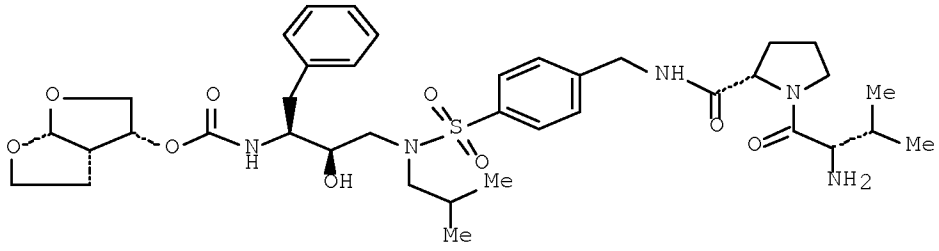


II

AB The invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Prodrugs I [n is 1-5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine or threonine; X is a D- or L-amino acid; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R1 is aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaryloxyalkyl, heteroarylalkoxy; R2 is arylalkyl; R3 is alkyl, alkenyl or cycloalkylalkyl; R4 is H or alkyl] and their stereoisomeric forms and salts are claimed. Thus, peptide conjugate II (Val-Pro-PI 1) was prepared via peptide coupling reaction and studied biol., e.g., its conversion to the parent drug PI 1 in human or bovine serum.

IT 791071-78-8P 791071-82-4P 791071-83-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

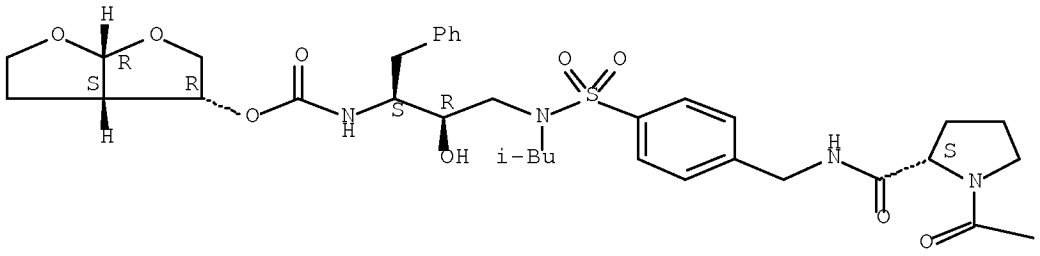
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-78-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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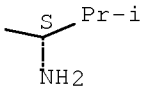
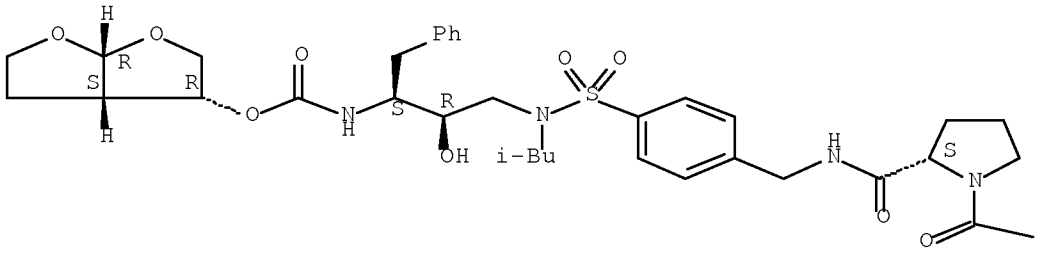


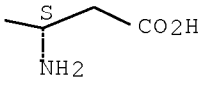
RN 791071-82-4 CAPLUS

CN L-Prolinamide, L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

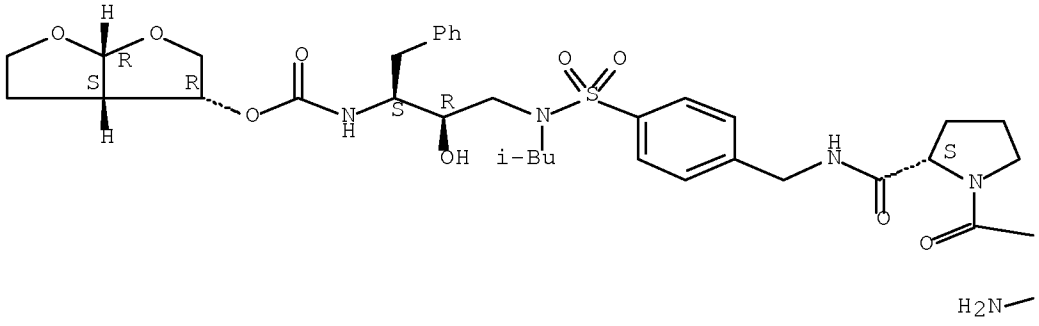


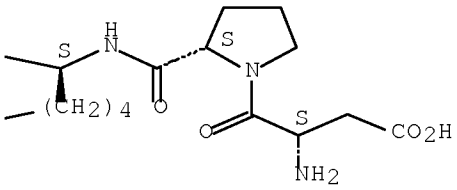


RN 791071-83-5 CAPLUS

CN L-Prolinamide, L-α-aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





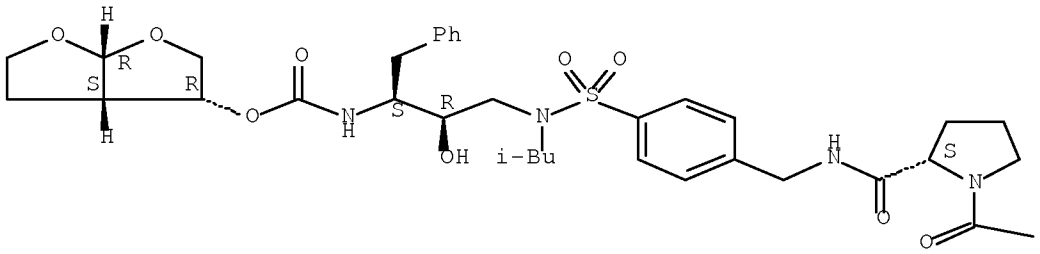
IT 791071-77-7P 791071-79-9P 791071-80-2P
791071-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-77-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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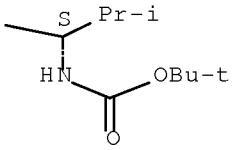
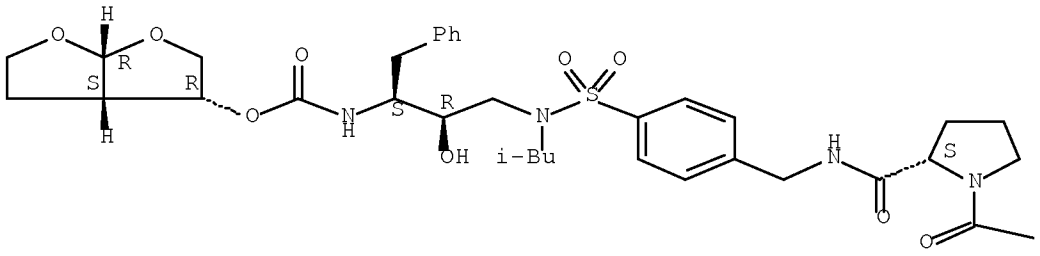


RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



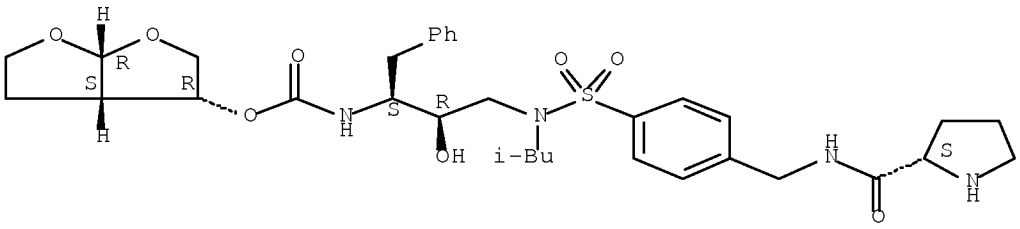
PAGE 1-B

—OBu-t

RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

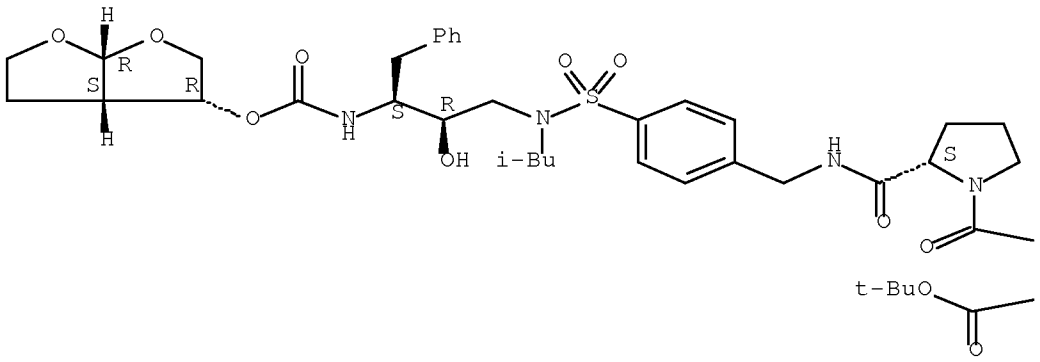


RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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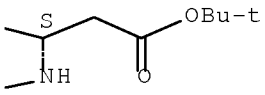

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:996009 CAPLUS Full-text
DOCUMENT NUMBER: 141:411224
TITLE: Preparation of peptidyl prodrugs which are cleavable by CD26
INVENTOR(S): Balzarini, Jan
PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098644	A1	20041118	WO 2004-BE69	20040510

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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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PRIORITY APPLN. INFO.:			GB 2003-10593	A	20030508
			WO 2004-BE69	W	20040510

OTHER SOURCE(S): MARPAT 141:411224

AB The invention provides new prodrug technol. and prodrugs in order to increase solubility, modulate plasma protein binding or enhance the bioavailability of a drug. The prodrugs are conjugates of a therapeutic compound and a peptide (e.g., a tetra- or hexapeptide) which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Claimed prodrugs comprise a therapeutic compound linked via an amide bond to an oligopeptide H-(X-Y)n, where X is an amino acid, n is 1-5, and Y is an amino acid selected from the group consisting of proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine. Thus, Val-Pro-NAP-TSAO, the dipeptide conjugate of the antiviral prodrug NAP-TSAO, was prepared and studied biol., e.g., its conversion to the parent drug in human or bovine serum.

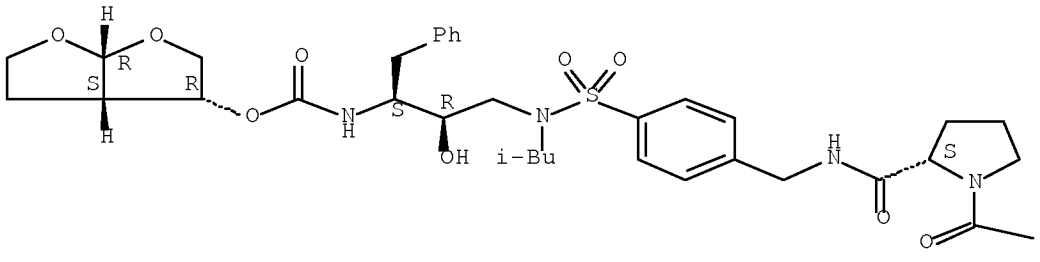
IT 791071-82-4 791071-83-5
RL: PRPH (Prophetic)
(Preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-82-4 CAPLUS

CN L-Prolinamide, L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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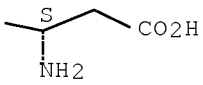
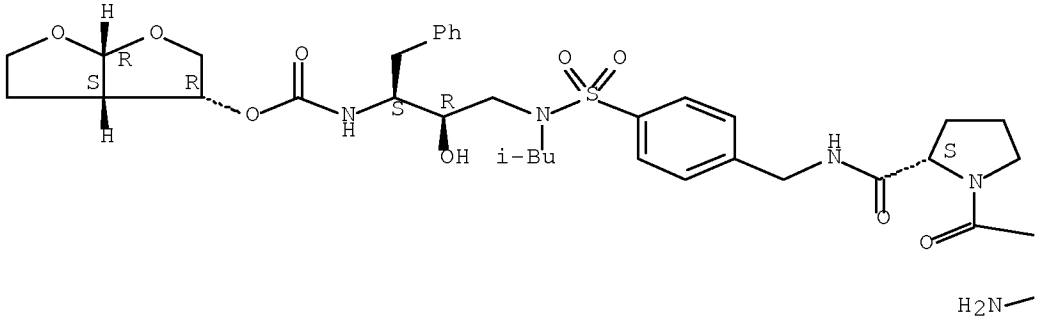


RN 791071-83-5 CAPLUS

CN L-Prolinamide, L-α-aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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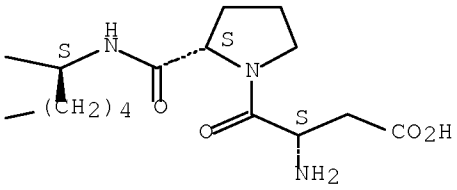
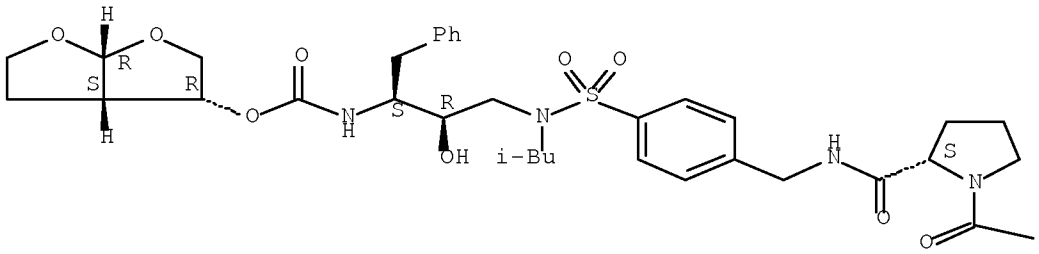


IT 791071-78-8P
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl prodrugs which are cleavable by CD26)
RN 791071-78-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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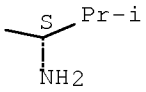

IT 791071-77-7P 791071-79-9P 791071-80-2P
791071-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-77-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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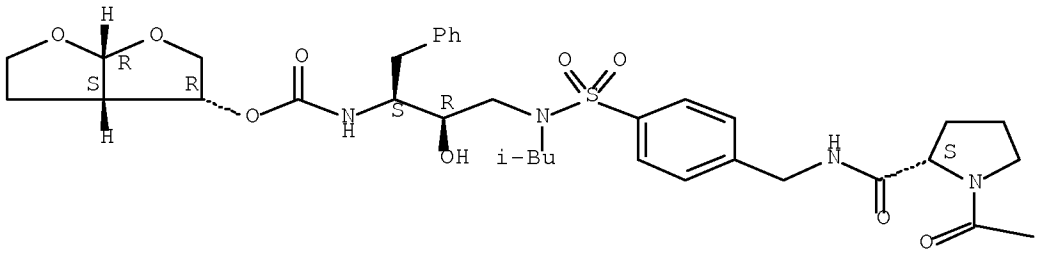

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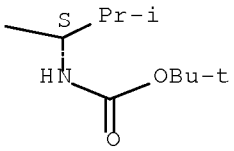
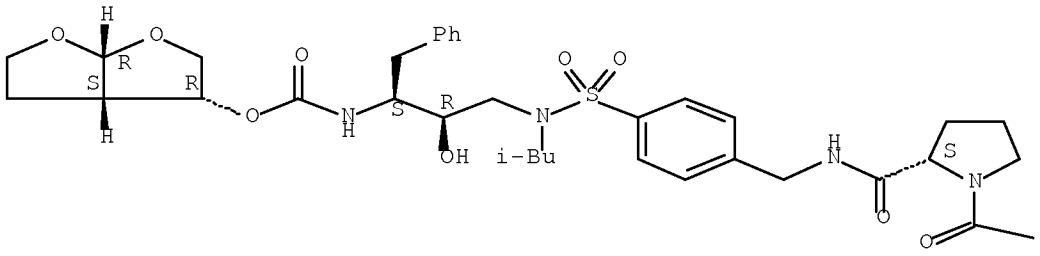


RN 791071-79-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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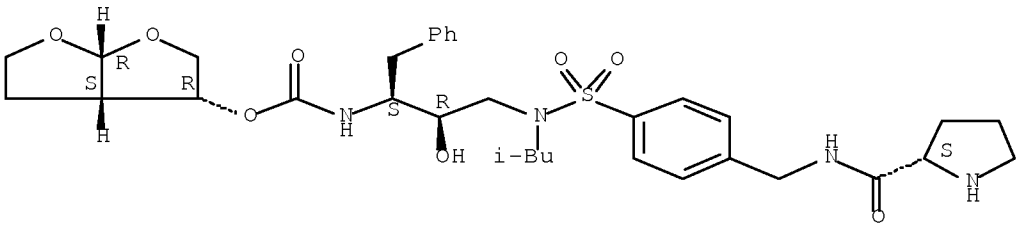
—OBu-t

RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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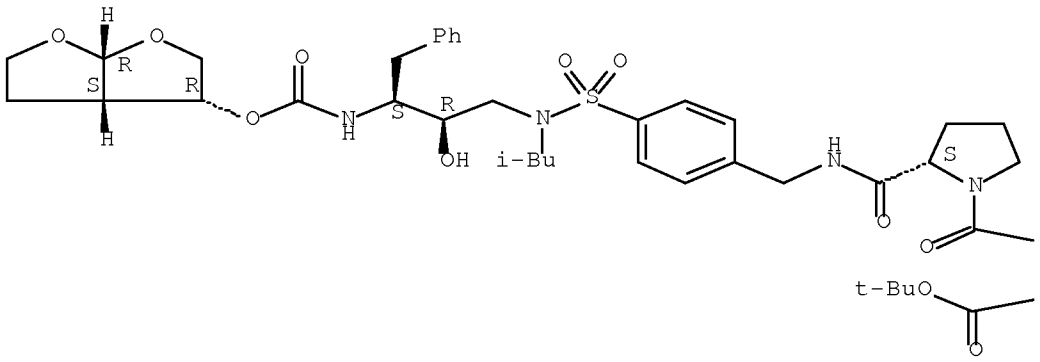

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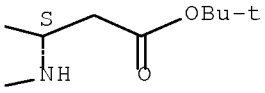

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